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PATENT COOPERATION TREATY

From the
INTERNATIONAL PRELIMINARY EXAMINING AUTHORITY

To:

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EUROPEAN PHARMA
PATENT DEPARTMENT

01 JUN 2006

PCT

NOTIFICATION OF TRANSMITTAL OF
THE INTERNATIONAL PRELIMINARY
REPORT ON PATENTABILITY

(PCT Rule 71.1)

Date of mailing
(day/month/year)

01.06.2006

Applicant's or agent's file reference
PC25930A

IMPORTANT NOTIFICATION

International application No.
PCT/IB2005/000597

International filing date (day/month/year)
07.03.2005

Priority date (day/month/year)
18.03.2004

Applicant
PFIZER LIMITED

1. The applicant is hereby notified that this International Preliminary Examining Authority transmits herewith the international preliminary report on patentability and its annexes, if any, established on the international application.
2. A copy of the report and its annexes, if any, is being transmitted to the International Bureau for communication to all the elected Offices.
3. Where required by any of the elected Offices, the International Bureau will prepare an English translation of the report (but not of any annexes) and will transmit such translation to those Offices.
4. **REMINDER**

The applicant must enter the national phase before each elected Office by performing certain acts (filing translations and paying national fees) within 30 months from the priority date (or later in some Offices) (Article 39(1)) (see also the reminder sent by the International Bureau with Form PCT/IB/301).

Where a translation of the international application must be furnished to an elected Office, that translation must contain a translation of any annexes to the international preliminary report on patentability. It is the applicant's responsibility to prepare and furnish such translation directly to each elected Office concerned.

For further details on the applicable time limits and requirements of the elected Offices, see Volume II of the PCT Applicant's Guide.

The applicant's attention is drawn to Article 33(5), which provides that the criteria of novelty, inventive step and industrial applicability described in Article 33(2) to (4) merely serve the purposes of international preliminary examination and that "any Contracting State may apply additional or different criteria for the purposes of deciding whether, in that State, the claimed inventions is patentable or not" (see also Article 27(5)). Such additional criteria may relate, for example, to exemptions from patentability, requirements for enabling disclosure, clarity and support for the claims.

FILING

DEBIT NO.

RENEWALS

RECORDABLE

Name and mailing address of the international
preliminary examining authority:



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PATENT COOPERATION TREATY

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INTERNATIONAL PRELIMINARY REPORT ON PATENTABILITY

(Chapter II of the Patent Cooperation Treaty)

(PCT Article 36 and Rule 70)

Applicant's or agent's file reference PC25930A	FOR FURTHER ACTION See Form PCT/PEA/416	
International application No. PCT/IB2005/000597	International filing date (day/month/year) 07.03.2005	Priority date (day/month/year) 18.03.2004
International Patent Classification (IPC) or national classification and IPC INV. C07D231/40 C07D231/42 C07D231/50 C07D401/12 C07D417/04 C07D403/12 C07D413/12 C07D417/12 A01N43/56 A61K31/415 A61P33/00		
Applicant PFIZER LIMITED		
<p>1. This report is the international preliminary examination report, established by this International Preliminary Examining Authority under Article 35 and transmitted to the applicant according to Article 36.</p> <p>2. This REPORT consists of a total of 7 sheets, including this cover sheet.</p> <p>3. This report is also accompanied by ANNEXES, comprising:</p> <p>a. <input checked="" type="checkbox"/> sent to the applicant and to the International Bureau a total of 1-16 sheets, as follows:</p> <p><input checked="" type="checkbox"/> sheets of the description, claims and/or drawings which have been amended and are the basis of this report and/or sheets containing rectifications authorized by this Authority (see Rule 70.16 and Section 607 of the Administrative Instructions).</p> <p><input type="checkbox"/> sheets which supersede earlier sheets, but which this Authority considers contain an amendment that goes beyond the disclosure in the international application as filed, as indicated in item 4 of Box No. I and the Supplemental Box.</p> <p>b. <input type="checkbox"/> (sent to the International Bureau only) a total of (indicate type and number of electronic carrier(s)) , containing a sequence listing and/or tables related thereto, in electronic form only, as indicated in the Supplemental Box Relating to Sequence Listing (see Section 802 of the Administrative Instructions).</p>		
<p>4. This report contains Indications relating to the following items:</p> <p><input checked="" type="checkbox"/> Box No. I Basis of the report</p> <p><input type="checkbox"/> Box No. II Priority</p> <p><input checked="" type="checkbox"/> Box No. III Non-establishment of opinion with regard to novelty, inventive step and industrial applicability</p> <p><input type="checkbox"/> Box No. IV Lack of unity of invention</p> <p><input checked="" type="checkbox"/> Box No. V Reasoned statement under Article 35(2) with regard to novelty, inventive step or industrial applicability; citations and explanations supporting such statement</p> <p><input checked="" type="checkbox"/> Box No. VI Certain documents cited</p> <p><input type="checkbox"/> Box No. VII Certain defects in the international application</p> <p><input type="checkbox"/> Box No. VIII Certain observations on the international application</p>		
Date of submission of the demand 31.03.2005	Date of completion of this report 01.06.2006	
Name and mailing address of the international preliminary examining authority:  European Patent Office - P.B. 5818 Patentlaan 2 NL-2280 HV Rijswijk - Pays Bas Tel. +31 70 340 - 2040 Tx: 31 651 epo nl Fax: +31 70 340 - 3016		Authorized officer Allard, M Telephone No. +31 70 340-2002 

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INTERNATIONAL PRELIMINARY REPORT
ON PATENTABILITYInternational application No.
PCT/IB2005/000597**Box No. I Basis of the report**1. With regard to the **language**, this report is based on

- ☒ the international application in the language in which it was filed
- ☐ a translation of the international application into , which is the language of a translation furnished for the purposes of:
- ☐ international search (under Rules 12.3(a) and 23.1(b))
 - ☐ publication of the international application (under Rule 12.4(a))
 - ☐ international preliminary examination (under Rules 55.2(a) and/or 55.3(a))

2. With regard to the **elements*** of the international application, this report is based on *(replacement sheets which have been furnished to the receiving Office in response to an invitation under Article 14 are referred to in this report as "originally filed" and are not annexed to this report):*

Description, Pages

1-141 as originally filed

Claims, Numbers

1-15 received on 19.01.2006 with letter of 18.01.2006

- ☐ a sequence listing and/or any related table(s) - see Supplemental Box Relating to Sequence Listing

3. ☐ The amendments have resulted in the cancellation of:

- ☐ the description, pages
- ☐ the claims, Nos.
- ☐ the drawings, sheets/figs
- ☐ the sequence listing (*specify*):
- ☐ any table(s) related to sequence listing (*specify*):

4. ☐ This report has been established as if (some of) the amendments annexed to this report and listed below had not been made, since they have been considered to go beyond the disclosure as filed, as indicated in the Supplemental Box (Rule 70.2(c)).

- ☐ the description, pages
- ☐ the claims, Nos.
- ☐ the drawings, sheets/figs
- ☐ the sequence listing (*specify*):
- ☐ any table(s) related to sequence listing (*specify*):

* If item 4 applies, some or all of these sheets may be marked "superseded."

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INTERNATIONAL PRELIMINARY REPORT
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PCT/IB2005/000597**Box No. III Non-establishment of opinion with regard to novelty, inventive step and industrial applicability**

1. The questions whether the claimed invention appears to be novel, to involve an inventive step (to be non-obvious), or to be industrially applicable have not been examined in respect of:

- ☐ the entire international application,
☒ claims Nos. 15 (as to industrial applicability only)

because:

- ☒ the said international application, or the said claims Nos. 15 relate to the following subject matter which does not require an international preliminary examination (*specify*):

see separate sheet

- ☐ the description, claims or drawings (*indicate particular elements below*) or said claims Nos. are so unclear that no meaningful opinion could be formed (*specify*):
- ☐ the claims, or said claims Nos. are so inadequately supported by the description that no meaningful opinion could be formed (*specify*):
- ☐ no international search report has been established for the said claims Nos.
- ☐ a meaningful opinion could not be formed without the sequence listing; the applicant did not, within the prescribed time limit:
- ☐ furnish a sequence listing on paper complying with the standard provided for in Annex C of the Administrative Instructions, and such listing was not available to the International Preliminary Examining Authority in a form and manner acceptable to it.
- ☐ furnish a sequence listing in electronic form complying with the standard provided for in Annex C of the Administrative Instructions, and such listing was not available to the International Preliminary Examining Authority in a form and manner acceptable to it.
- ☐ pay the required late furnishing fee for the furnishing of a sequence listing in response to an invitation under Rules 13ter.1(a) or (b) and 13ter.2.
- ☐ a meaningful opinion could not be formed without the tables related to the sequence listings; the applicant did not, within the prescribed time limit, furnish such tables in electronic form complying with the technical requirements provided for in Annex C-bis of the Administrative Instructions, and such tables were not available to the International Preliminary Examining Authority in a form and manner acceptable to it.
- ☐ the tables related to the nucleotide and/or amino acid sequence listing, if in electronic form only, do not comply with the technical requirements provided for in Annex C-bis of the Administrative Instructions.
- ☐ See separate sheet for further details

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Box No. V Reasoned statement under Article 35(2) with regard to novelty, inventive step or industrial applicability; citations and explanations supporting such statement

1. Statement

Novelty (N)	Yes: Claims	1-15
	No: Claims	-
Inventive step (IS)	Yes: Claims	-
	No: Claims	1-15
Industrial applicability (IA)	Yes: Claims	1-14
	No: Claims	-

2. Citations and explanations (Rule 70.7):

see separate sheet

Box No. VI Certain documents cited

1. Certain published documents (Rule 70.10)

and / or

2. Non-written disclosures (Rule 70.9)

see separate sheet

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Re Item III.

Claim 15 relates to subject-matter considered by this Authority to be covered by the provisions of Rule 67.1(iv) PCT. Consequently, no opinion will be formulated with respect to the industrial applicability of the subject-matter of this claim (Article 34(4)(a)(I) PCT).

Re Item V.

Reference is made to the following documents:

- D01 : EP 1 319 657 A (NIHON NOHYAKU CO., LTD.) 18 June 2003 (2003-06-18)
- D02 : DE 195 11 269 A (CIBA-GEIGY AG) 5 October 1995 (1995-10-05)
- D03 : DATABASE WPI, Section Ch, Week 199340, Derwent Publications Ltd., London, GB; Class C02, AN 1993-317444, XP002330928 (JP 05 230029 A (UBE IND LTD) 7 September 1993 (1993-09-07))
- D04 : DATABASE CAPLUS, CHEMICAL ABSTRACTS SERVICE, COLUMBUS, OHIO, US; XP002330924, Database accession no. 1965:431646
- D05 : DATABASE CAPLUS, CHEMICAL ABSTRACTS SERVICE, COLUMBUS, OHIO, US; XP002330925, Database accession no. 1969:36415
- D06 : DATABASE CAPLUS, CHEMICAL ABSTRACTS SERVICE, COLUMBUS, OHIO, US; XP002330926, Database accession no. 1964:3141
- D07 : DATABASE CROSSFIRE, BEILSTEIN INSTITUT ZUR FOERDERUNG DER CHEMISCHEN WISSENSCHAFTEN; XP002330927
- D08 : GUARNERI M ET AL: "Contributo alla conoscenza di pirazolsulfonamidi" ANNALI DI CHIMICA, vol. 49, 1959, pages 958-963, XP008048105
- D09 : KOCH A ET AL: "QSAR and molecular modelling for a series of isomeric X-sulfanilamido-1-phenylpyrazoles" QUANTITATIVE STRUCTURE-ACTIVITY RELATIONSHIPS, vol. 12, no. 4, 1993, pages 373-382, XP008048108
- D10 : ALBERTI C ET AL: "Sulfanilamidi pirazoliche. Nota VIII" FARMACO, EDIZIONE SCIENTIFICA, vol. 21, no. 12, 1966, pages 883-891, XP008048107
- D11 : ALBERTI C ET AL: "Sulfanilamidi pirazoliche. Nota VI" FARMACO, EDIZIONE SCIENTIFICA, vol. 19, no. 7, 1964, pages 618-637, XP008048116
- D12 : ALBERTI C ET AL: "Sulfanilamidi pirazoliche. Nota V" FARMACO, EDIZIONE

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- SCIENTIFICA, vol. 19, no. 5, 1964, pages 459-473, XP008048115
- D13 : ALBERTI C ET AL: "Sulfanilamidi pirazoliche. Nota IV" FARMACO, EDIZIONE SCIENTIFICA, vol. 17, no. 6, 1962, pages 460-467, XP008048106
- D14 : ALBERTI C ET AL: "Sulanilamidi pirazoliche. Nota XIII" FARMACO, EDIZIONE SCIENTIFICA, vol. 29, no. 12, 1974, pages 957-966, XP002330922
- D15 : ALBERTI C ET ET: "Sulfanilamidi pirazoliche. Nota XI" FARMACO, EDIZIONE SCIENTIFICA, vol. 26, no. 1, 1971, pages 66-88, XP002330923
- D16 : FUSCO R ET AL: "Sintesi e proprieta' farmacologiche di composti pirazolici. Nota I" FARMACO, EDIZIONE SCIENTIFICA, vol. 23, no. 19, 1968, pages 919-944, XP001085259

Novelty (Article 33(2) PCT)

The available prior art D01-D16 does not disclose 3-substituted-4-sulphonylamino-pyrazoles according to claim 1, or the use of 4-sulphonylamino-pyrazoles according to claim 14 for preparing a parasitocidal medicament: the subject-matter of claims 1-15 is therefore novel.

Inventive step (Article 33(3) PCT)

The subject-matter of claims 1-15 does not involve an inventive step:

D1, which is considered to represent the closest prior art, describes N-(4-pyrazolyl) amides useful as insecticides or nematocides, see in particular claims 1 and 10.

In the light of the disclosure of D1 the problem underlying the present application can be seen in the provision of further pesticides.

To solve this problem, the present application proposes to replace the amide group of the compounds of D1 by a sulphonamide group.

Such a structural modification is however an obvious measure in the design of further pesticidal compounds, particularly in view of the teachings of D2 (see the definition of R₃)

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and of D3 (see example 8), which does not involve an inventive step in the absence of substantiated, directly resulting, unexpected effects.

Industrial applicability (Article 33(4) PCT)

The compounds, compositions and methods of claims 1-14 can be applied in the chemical industry.

For the assessment of the present claim 15 on the question whether it is industrially applicable, no unified criteria exist in the PCT Contracting States.

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